10/ 075,073

Connecting via Winsock to STN

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 01	INPADOC: New family current-awareness alert (SDI) available
NEWS	4	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	5	SEP 01	New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
NEWS	6	SEP 27	STANDARDS will no longer be available on STN
NEWS	7	SEP 27	SWETSCAN will no longer be available on STN
NEWS	8	OCT 28	KOREAPAT now available on STN
NEWS	9	NOV 18	Current-awareness alerts, saved answer sets, and current search transcripts to be affected by CERAB, COMPUAB, ELCOM, and SOLIDSTATE reloads
NEWS	10	NOV 30	PHAR reloaded with additional data
NEWS	11	DEC 01	LISA now available on STN

NEWS EXPRESS	OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:02:17 ON 06 DEC 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 11:02:26 ON 06 DEC 2004
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 5 DEC 2004 HIGHEST RN 792236-36-3
DICTIONARY FILE UPDATES: 5 DEC 2004 HIGHEST RN 792236-36-3

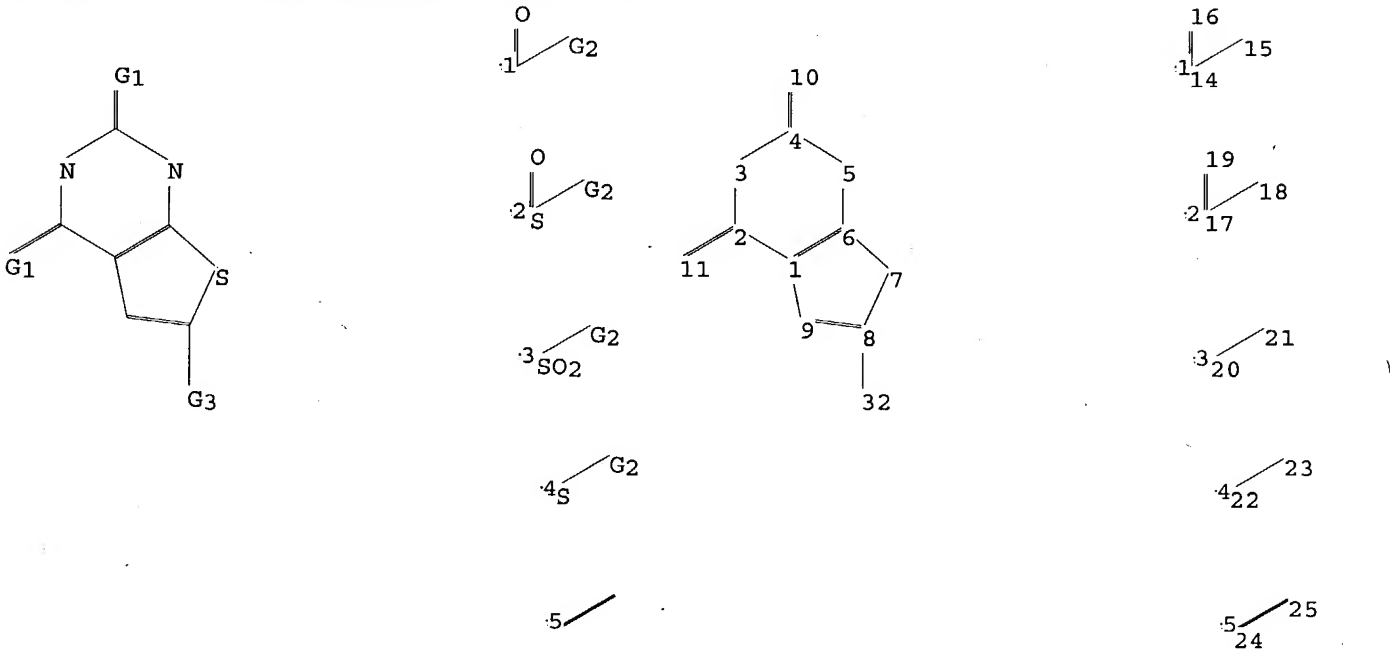
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\STNEXP4\QUERIES\10075073a.str



chain nodes :
10 11 14 15 16 17 18 19 20 21 22 23 24 25 32
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
2-11 4-10 8-32 14-15 14-16 17-18 17-19 20-21 22-23 24-25
ring bonds :
1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9
exact/norm bonds :
1-2 1-6 2-3 2-11 3-4 4-5 4-10 5-6 8-32 14-15 14-16 17-18 17-19 20-21 22-23
exact bonds :
1-9 6-7 7-8 8-9 24-25
isolated ring systems :
containing 1 :

G1:O,S

10/ 075,073

G2:O,N

G3:[*1],[*2],[*3],[*4],[*5]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 32:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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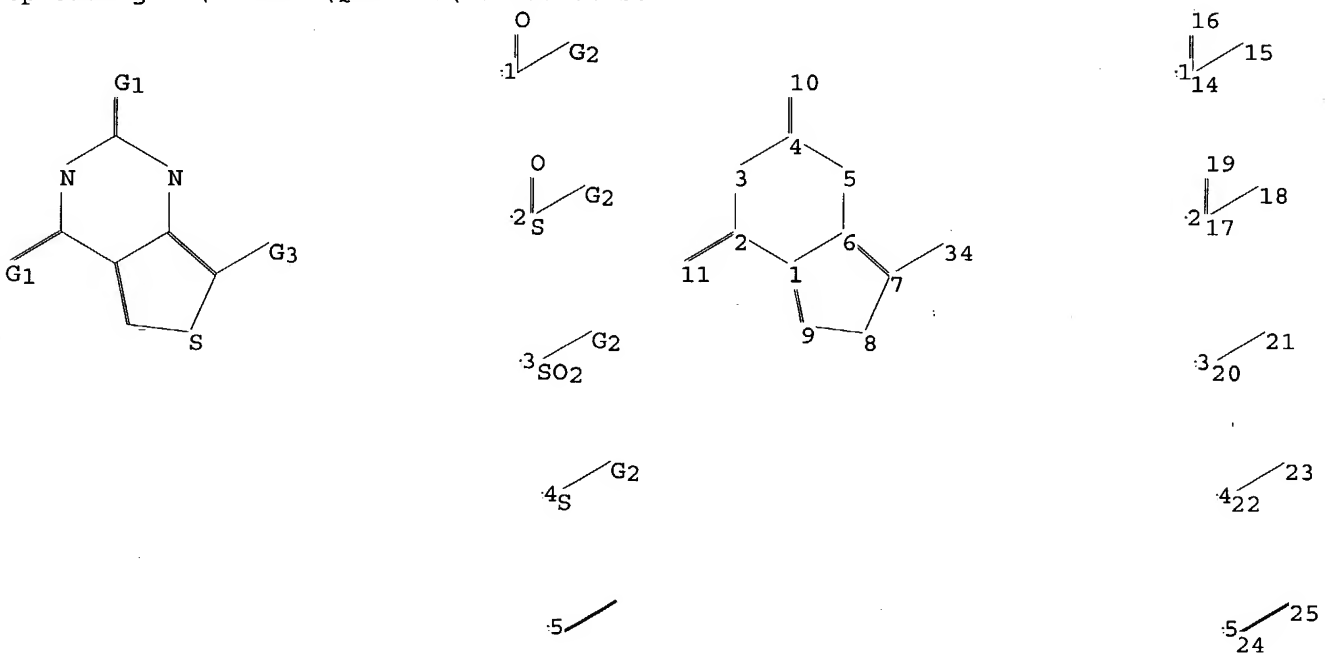
Uploading C:\STNEXP4\QUERIES\10075073b.str



chain nodes :
10 11 14 15 16 17 18 19 20 21 22 23 24 25 34
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
2-11 4-10 7-34 14-15 14-16 17-18 17-19 20-21 22-23 24-25
ring bonds :
1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9
exact/norm bonds :
1-2 1-6 2-3 2-11 3-4 4-5 4-10 5-6 7-34 14-15 14-16 17-18 17-19 20-21 22-23
exact bonds :
1-9 6-7 7-8 8-9 24-25
isolated ring systems :

10/ 075,073

containing 1 :

G1:O,S

G2:O,N

G3:[*1],[*2],[*3],[*4],[*5]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 34:CLASS

L2 STRUCTURE UPLOADED

=> d l2
L2 HAS NO ANSWERS
L2 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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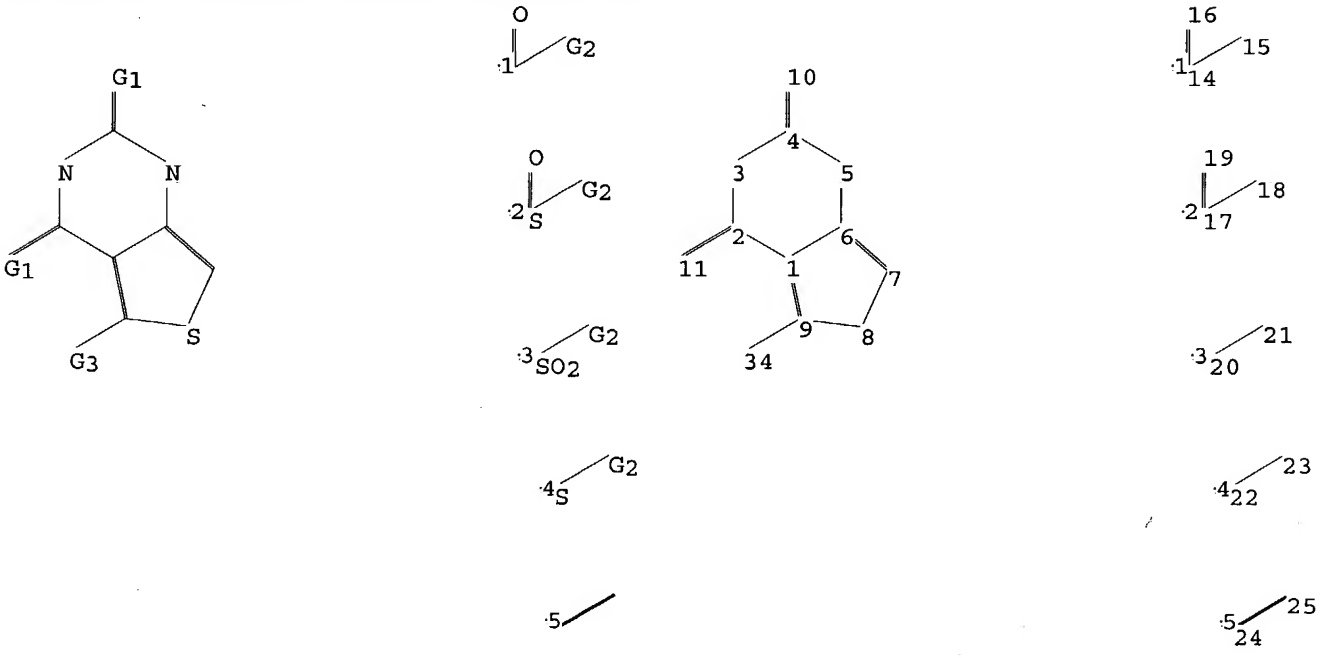
Uploading C:\STNEXP4\QUERIES\10075073c.str



chain nodes :
10 11 14 15 16 17 18 19 20 21 22 23 24 25 34
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
2-11 4-10 9-34 14-15 14-16 17-18 17-19 20-21 22-23 24-25
ring bonds :
1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9

10/ 075,073

exact/norm bonds :
1-2 1-6 2-3 2-11 3-4 4-5 4-10 5-6 9-34 14-15 14-16 17-18 17-19 20-21 22-23
exact bonds :
1-9 6-7 7-8 8-9 24-25
isolated ring systems :
containing 1 :

G1:O,S

G2:O,N

G3:[*1],[*2],[*3],[*4],[*5]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 34:CLASS

L3 STRUCTURE UPLOADED

=> d l3
L3 HAS NO ANSWERS
L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

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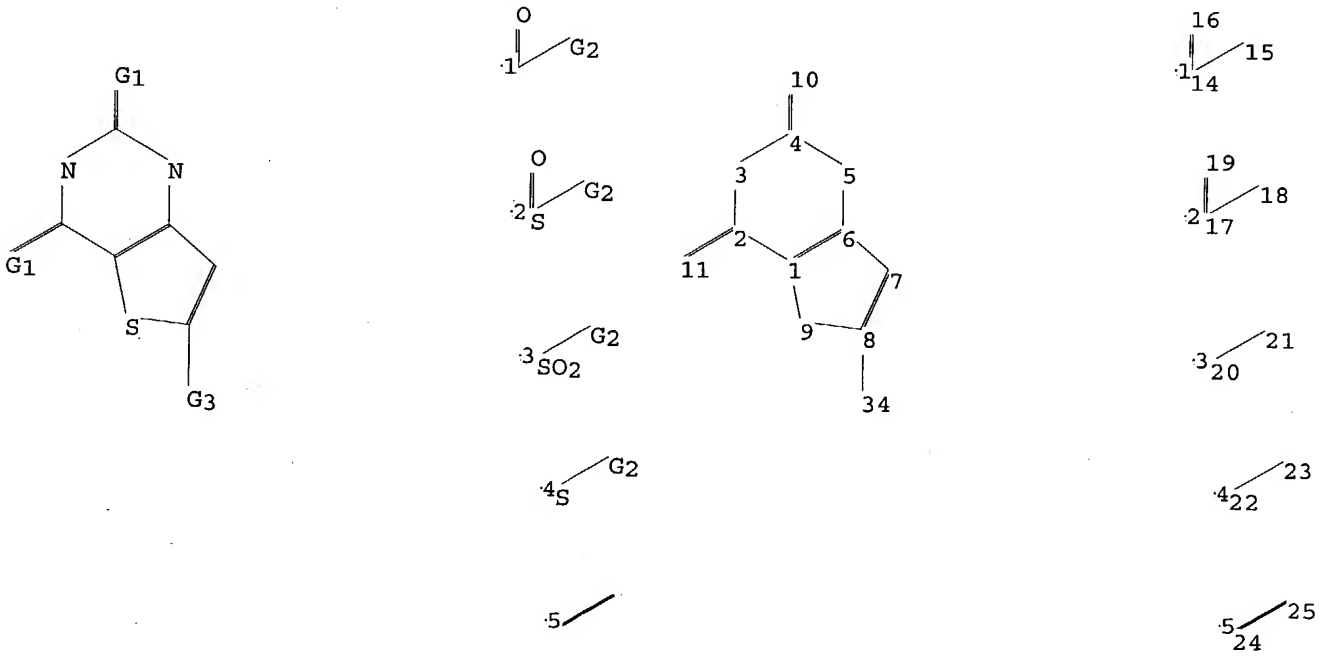
Uploading C:\STNEXP4\QUERIES\10075073d.str



chain nodes :
10 11 14 15 16 17 18 19 20 21 22 23 24 25 34
ring nodes :

10/ 075,073

1 2 3 4 5 6 7 8 9
chain bonds :
2-11 4-10 8-34 14-15 14-16 17-18 17-19 20-21 22-23 24-25
ring bonds :
1-2 1-6 1-9 2-3 3-4 4-5 5-6 6-7 7-8 8-9
exact/norm bonds :
1-2 1-6 2-3 2-11 3-4 4-5 4-10 5-6 8-34 14-15 14-16 17-18 17-19 20-21 22-23
exact bonds :
1-9 6-7 7-8 8-9 24-25
isolated ring systems :
containing 1 :

G1:O,S

G2:O,N

G3:[*1],[*2],[*3],[*4],[*5]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 34:CLASS

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 11:04:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1549 TO ITERATE

100.0% PROCESSED 1549 ITERATIONS 750 ANSWERS
SEARCH TIME: 00.00.01

L5 750 SEA SSS FUL L1

=> s l2 ful
FULL SEARCH INITIATED 11:04:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L6 0 SEA SSS FUL L2

=> s l3 ful
FULL SEARCH INITIATED 11:04:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 24 TO ITERATE

100.0% PROCESSED 24 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

10/ 075,073

L7 0 SEA SSS FUL L3

=> s l4 ful
FULL SEARCH INITIATED 11:04:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 19 TO ITERATE

100.0% PROCESSED 19 ITERATIONS 13 ANSWERS
SEARCH TIME: 00.00.01

L8 13 SEA SSS FUL L4

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	621.68	621.89

FILE 'CAPLUS' ENTERED AT 11:04:35 ON 06 DEC 2004
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FILE COVERS 1907 - 6 Dec 2004 VOL 141 ISS 24
FILE LAST UPDATED: 5 Dec 2004 (20041205/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 11:02:17 ON 06 DEC 2004)

FILE 'REGISTRY' ENTERED AT 11:02:26 ON 06 DEC 2004
L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 STRUCTURE UPLOADED
L4 STRUCTURE UPLOADED
L5 750 S L1 FUL
L6 0 S L2 FUL
L7 0 S L3 FUL
L8 13 S L4 FUL

FILE 'CAPLUS' ENTERED AT 11:04:35 ON 06 DEC 2004

=> s l5
L9 22 L5

=> s l8
L10 5 L8

=> s l5 not l8
22 L5

10/ 075,073

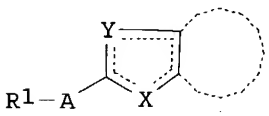
5 L8
L11 18 L5 NOT L8

=> d l10 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 5 ANSWERS - CONTINUE? Y/(N):y

L10 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:143158 CAPLUS
DOCUMENT NUMBER: 140:193101
TITLE: Pyrimidine fused bicyclic metalloproteinase inhibitors, pharmaceutical compositions, and therapeutic use
INVENTOR(S): Wilson, Michael William
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014916	A1	20040219	WO 2003-IB3570	20030804
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004038994	A1	20040226	US 2003-634290	20030805
PRIORITY APPLN. INFO.:			US 2002-403007P	P 20020813

OTHER SOURCE(S): MARPAT 140:193101
GI

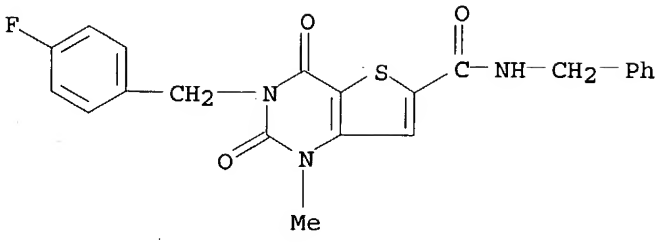


I

AB The invention discloses fused bicyclic metalloproteinase inhibitors I [A = C2-6 alkynyl, bond, etc.; X, Y = O, S, etc. (with proviso); dashed lines = optional double bonds; B = substituted pyrimidinyl; R1 = C1-6 alkyl, C2-6 alkenyl, etc.], as well as pharmaceutical compns. and methods of treating arthritis, inflammation, cancer, and other disorders.

IT **660819-52-3**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrimidine fused bicyclic metalloproteinase inhibitors, pharmaceutical compns., and therapeutic use)

RN 660819-52-3 CAPLUS
CN Thieno[3,2-d]pyrimidine-6-carboxamide, 3-[(4-fluorophenyl)methyl]-1,2,3,4-tetrahydro-1-methyl-2,4-dioxo-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:637683 CAPLUS
DOCUMENT NUMBER: 137:185504
TITLE: Preparation of thieno[2,3-d]pyrimidindiones as matrix metalloproteinase inhibitors for treatment of cancer, rheumatoid arthritis, and osteoarthritis
INVENTOR(S): Harter, William Glen; Li, Jie Jack; Ortwine, Daniel Fred; Shuler, Kevon Ray; Yue, Wen-song
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 278 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064598	A1	20020822	WO 2002-IB204	20020118
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2433778	AA	20020822	CA 2002-2433778	20020118
EP 1370562	A1	20031217	EP 2002-711123	20020118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007216	A	20040309	BR 2002-7216	20020118
JP 2004518732	T2	20040624	JP 2002-564529	20020118
US 2003004172	A1	20030102	US 2002-75073	20020213
PRIORITY APPLN. INFO.:			US 2001-268756P	P 20010214
			WO 2002-IB204	W 20020118

OTHER SOURCE(S): MARPAT 137:185504
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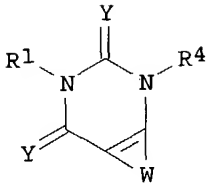
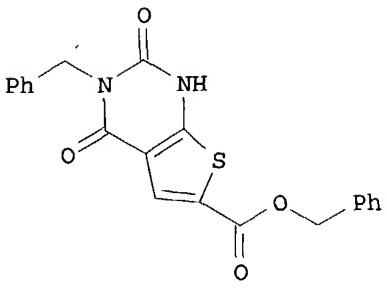


I



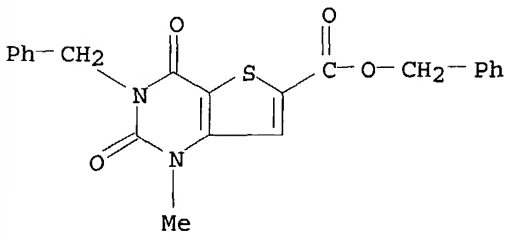
II

AB Title fused pyrimidinones I [wherein C2W = 5-membered (hetero)cyclic diradical substituted with ABR3 and optionally substituted with R2; A = CO or SO0-2; B = O or NR5; or AB = C.tplbond.C; R1, R4, and R5 = independently H, alkyl, alkenyl, alkynyl, (CH2)n-(hetero)aryl, (CH2)n-cycloalkyl, (CH2)n-heterocyclyl, or alkanoyl; R2 and R3 = independently H, alkyl, alkenyl, alkynyl CN, NO2, NR4R5, (CH2)n-cycloalkyl, or (CH2)n-(hetero)aryl; or R2 = halo; n = 0-5; or NR4R5 = (un)substituted heterocyclyl; with the proviso that R1 and R3 ≠ both H or alkyl; or pharmaceutically acceptable salts thereof] were prepared as matrix metalloproteinase (MMP) inhibitors, especially as selective MMP-13 inhibitors. For example, 3-benzyl-6-chloro-1H-pyrimidine-2,4-dione was coupled with mercaptoacetic acid Et ester using Na2CO3 in EtOH (67%) and the product cyclized with POCl3 in anhydrous DMF to give 3-benzyl-2,4-dioxo-1,2,3,4-tetrahydrothieno[2,3-d]pyrimidine-6-carboxylic acid Et ester (95%). Saponification (96%) followed by esterification with benzyl alc. and 1-cyclohexyl-3-(2-morpholinoethyl)carbodiimide metho-p-toluenesulfonate afforded II (12%). The latter selectively inhibited the hydrolytic activity of MMP-13 (0.61 μM) over MMP-1 (100 μM), MMP-2 (100 μM), MMP-3 (18 μM), MMP-7 (100 μM), MMP-9 (100 μM), MMP-12 (100 μM), and MMP-14 (100 μM) with the indicated IC50 values. I are useful for the treatment of diseases mediated by the MMP-13 enzyme, such as cancer, rheumatoid arthritis, or osteoarthritis (no data). Formulations of I are also disclosed.

IT **448968-71-6P**, 1-Methyl-3-benzyl-2,4-dioxo-1,2,3,4-tetrahydrothieno[3,2-d]pyrimidine-6-carboxylic acid benzyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(MMP inhibitor; preparation of thienopyrimidinediones as MMP inhibitors for treatment of cancer, rheumatoid arthritis, and osteoarthritis)

RN 448968-71-6 CAPLUS

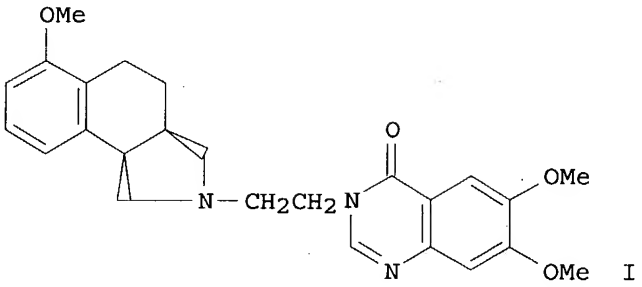
CN Thieno[3,2-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-1-methyl-2,4-dioxo-3-(phenylmethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/ 075,073

L10 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:334737 CAPLUS
DOCUMENT NUMBER: 135:107300
TITLE: Structure-Activity Studies for a Novel Series of Bicyclic Substituted Hexahydrobenz[e]isoindole α1A Adrenoceptor Antagonists as Potential Agents for the Symptomatic Treatment of Benign Prostatic Hyperplasia
AUTHOR(S): Meyer, Michael D.; Altenbach, Robert J.; Bai, Hao; Basha, Fatima Z.; Carroll, William A.; Kerwin, James F., Jr.; Lebold, Suzanne A.; Lee, Edmund; Pratt, John K.; Sippy, Kevin B.; Tietje, Karin; Wendt, Michael D.; Brune, Michael E.; Buckner, Steven A.; Hancock, Arthur A.; Drizin, Irene
CORPORATE SOURCE: Neurological and Urological Diseases Research Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064, USA
SOURCE: Journal of Medicinal Chemistry (2001), 44(12), 1971-1985
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:107300
GI



I

AB In search of a uroselective α1A subtype selective antagonist, a novel series of 6-methoxyhexahydrobenz[e]isoindoles attached to a bicyclic heterocyclic moiety via a two-carbon linker was synthesized. It was found that in contrast to a previously described series of tricyclic heterocycles, this bicyclic series has very specific requirements for the heterocyclic attachments. The most important structural features contributing to the α1A/α1B selectivity of these compds. were identified. In vitro functional assays for the α1 adrenoceptor subtypes were used to further characterize the most selective compds., and in vivo models of vascular vs prostatic tone were used to assess uroselectivity. The quinazolinone I showed the highest degree of selectivity in the radioligand binding assays (56-fold), in the in vitro functional tests (80-fold), and for in vivo prostate selectivity (960-fold).

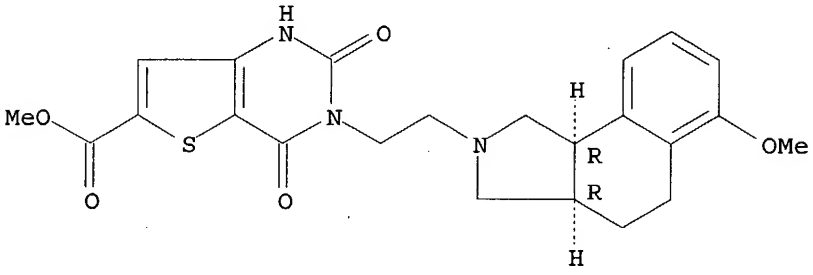
IT **181433-86-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure-activity studies of bicyclic-substituted hexahydrobenz[e]isoindole α1A adrenoceptor antagonists)

RN 181433-86-3 CAPLUS
CN Thieno[3,2-d]pyrimidine-6-carboxylic acid, 3-[2-[(3aR,9bR)-1,3,3a,4,5,9b-hexahydro-6-methoxy-2H-benz[e]isoindol-2-yl]ethyl]-1,2,3,4-tetrahydro-2,4-

10/ 075,073

dioxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:542760 CAPLUS
DOCUMENT NUMBER: 129:161567
TITLE: Preparation of bicyclic-substituted hexahydrobenz[e]isoindoles as α1 adrenergic antagonists
INVENTOR(S): Meyer, Michael D.; Altenbach, Robert J.; Basha, Fatima Z.; Carroll, William A.; Drizin, Irene; Kerwin, James F., Jr.; Lebold, Suzanne A.; Lee, Edmund L.; Pratt, John K.; Sippy, Kevin B.; Tietje, Karin R.; Yamamoto, Diane M.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 42 pp., Cont.-in-part of U. S. 5,521,181.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

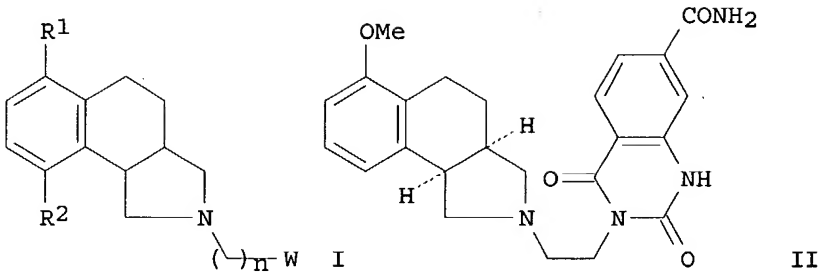
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5792767	A	19980811	US 1995-465476	19950605
US 5521181	A	19960528	US 1995-379823	19950127
CA 2210966	AA	19960801	CA 1996-2210966	19960111
WO 9622991	A1	19960801	WO 1996-US178	19960111
W: AU, CA, JP, KR, MX				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9647473	A1	19960814	AU 1996-47473	19960111
AU 694611	B2	19980723		
EP 805812	A1	19971112	EP 1996-903364	19960111
EP 805812	B1	20010613		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 11501616	T2	19990209	JP 1996-522872	19960111
ES 2159721	T3	20011016	ES 1996-903364	19960111
PT 805812	T	20011130	PT 1996-903364	19960111
GR 3036560	T3	20011231	GR 2001-401414	20010906

PRIORITY APPLN. INFO.: US 1995-379823 A2 19950127
US 1995-465476 A 19950605
WO 1996-US178 W 19960111

OTHER SOURCE(S): MARPAT 129:161567

10/ 075,073

GI



I II

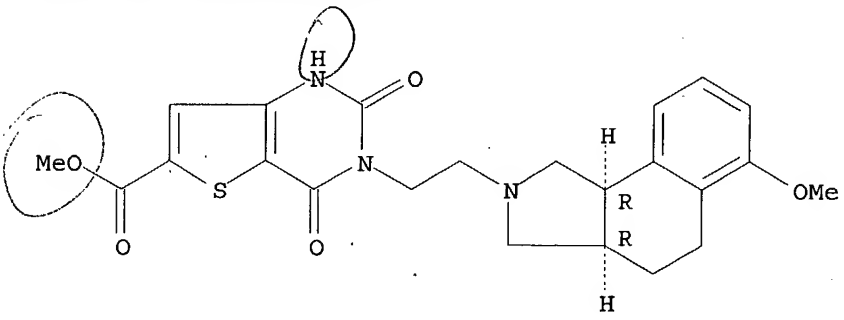
AB The invention relates to compds. I [R1, R2 = H, alkyl, alkoxy, OH, halo, CO2H, and alkoxycarbonyl; n = 2-6; W = certain 5,6-carbo- or 5,6-heterocycle-fused 2,4(1H,3H)-pyrimidinedione or 4(3H)-pyrimidinone groups, bound at the pyrimidine 3-position] and their pharmaceutically acceptable salts. The compds. are α1-adrenergic antagonists, and are useful in the treatment of benign prostatic hyperplasia (BPH). Also disclosed are α1-antagonist compns., and a method for antagonizing α1 receptors and treating BPH, optionally including use of a 5α-reductase inhibitor such as finasteride. For instance, Me 2-amino-4-carbamylbenzoate was treated with triphosgene to give an isocyanate, which was cyclized with (3aR,9bR)-2-(2-aminoethyl)-6-methoxy-2,3,3a,4,5,9b-hexahydro-1H-benz[e]isoindole to give title compound II, isolated as the HCl salt. The latter bound strongly (0.058 nM) to bovine α1a adrenoceptors in vitro.

IT **181433-86-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic substituted hexahydrobenz[e]isoindoles as α1-adrenergic antagonists)

RN 181433-86-3 CAPLUS

CN Thieno[3,2-d]pyrimidine-6-carboxylic acid, 3-[2-[(3aR,9bR)-1,3,3a,4,5,9b-hexahydro-6-methoxy-2H-benz[e]isoindol-2-yl]ethyl]-1,2,3,4-tetrahydro-2,4-dioxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

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REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

10/ 075,073

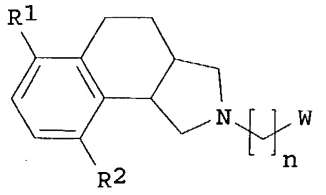
ACCESSION NUMBER: 1996:580284 CAPLUS
DOCUMENT NUMBER: 125:247845
TITLE: Preparation of heterocyclyl-substituted benz[e]isoindoles as α1 adrenergic antagonists
INVENTOR(S): Meyer, Michael D.; Altenbach, Robert J.; Basha, Fatima Z.; Carroll, William A.; Drizin, Irene; Kerwin, James F., Jr.; Lebold, Suzanne A.; Lee, Edmund L.; Pratt, John K.; et al.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9622991	A1	19960801	WO 1996-US178	19960111
W: AU, CA, JP, KR, MX				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5521181	A	19960528	US 1995-379823	19950127
US 5792767	A	19980811	US 1995-465476	19950605
AU 9647473	A1	19960814	AU 1996-47473	19960111
AU 694611	B2	19980723		
EP 805812	A1	19971112	EP 1996-903364	19960111
EP 805812	B1	20010613		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 11501616	T2	19990209	JP 1996-522872	19960111
GR 3036560	T3	20011231	GR 2001-401414	20010906

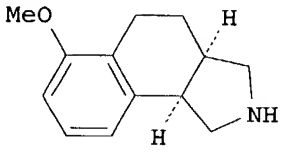
PRIORITY APPLN. INFO.:

US 1995-379823	A	19950127
US 1995-465476	A	19950605
WO 1996-US178	W	19960111

OTHER SOURCE(S): MARPAT 125:247845
GI



I



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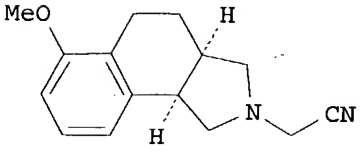

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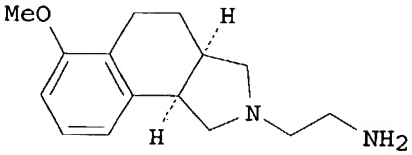
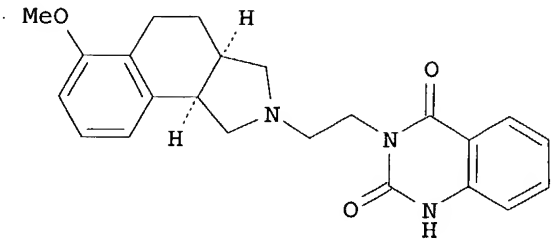


IV



V

10/ 075,073

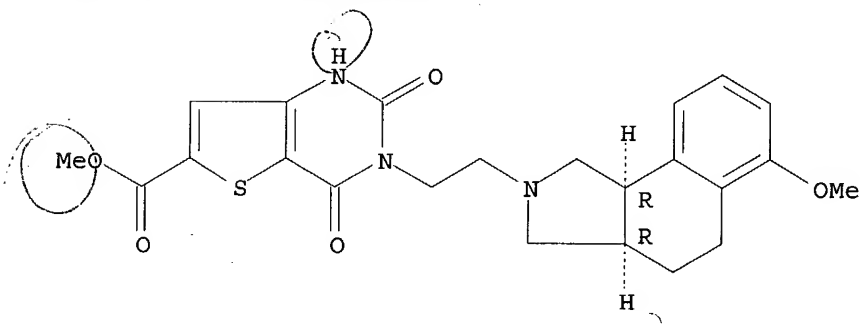
AB The title compds. [I; R1, R2 = H, C1-6 alkyl, OH, etc.; W = (substituted) quinazolinyl, thieno[3,2-d]pyrimidinyl, thieno[2,3-d]pyrimidinyl, etc.; n = 2-6], useful in the treatment of benign prostatic hyperplasia (BPH), were prepared Thus, reaction of benz[e]isoindole II with ClCH2CN in the presence of EtN(i-Pr)2 in MeCN followed by treatment of the intermediate III with LiAlH4/THF and reaction of amine IV with 2-(EtOCO)C6H4NCO in PhMe afforded the desired product cis-V.HCl which showed pA2 of 8.49 for inhibition of phenylephrine(PE)-induced contraction of rat vas.

IT **181433-86-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl-substituted benz[e]isoindoles as α1 adrenergic antagonists)

RN 181433-86-3 CAPLUS

CN Thieno[3,2-d]pyrimidine-6-carboxylic acid, 3-[2-[(3aR,9bR)-1,3,3a,4,5,9b-hexahydro-6-methoxy-2H-benz[e]isoindol-2-yl]ethyl]-1,2,3,4-tetrahydro-2,4-dioxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

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YOU HAVE REQUESTED DATA FROM 18 ANSWERS - CONTINUE? Y/(N):y

L11 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:402474 CAPLUS
DOCUMENT NUMBER: 141:157081
TITLE: Synthesis of Substituted Thienopyrimidine-4-ones
AUTHOR(S): Ivachtchenko, Alexandre; Kovalenko, Sergiy; Tkachenko, Olena V.; Parkhomenko, Oleksiy
CORPORATE SOURCE: Chemical Diversity Labs, Inc., San Diego, CA, 92121, USA
SOURCE: Journal of Combinatorial Chemistry (2004), 6(4), 573-583
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

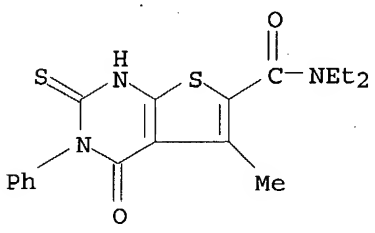
Cate

AB The parallel solution-phase synthesis of more than 3000 substituted thienopyrimidin-4-ones has been accomplished. Key reactions include assembly of the 2-thioxopyrimidin-4-one ring by condensation of isomeric aminothiophenecarboxylates or their appropriate reactive derivs. (isothiocyanates or dithiocarbamates) with isothiocyanates or amines. The libraries from libraries were then obtained in good yields and purities

using solution-phase alkylation and acylation methodologies. Simple manual techniques for parallel reactions using special CombiSyn synthesizers were coupled with easy purification procedures (crystallization from the reaction mixts.) to give high-purity final products. The scope and limitations of the developed approach are discussed.

IT **309733-15-1P**
RL: CPN (Combinatorial preparation); SPN (Synthetic preparation); CMBI (Combinatorial study); PREP (Preparation)
(solution-phase parallel synthesis of substituted thienopyrimidine-4-ones)

RN 309733-15-1 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxamide, N,N-diethyl-1,2,3,4-tetrahydro-5-methyl-4-oxo-3-phenyl-2-thioxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:143114 CAPLUS
DOCUMENT NUMBER: 140:193098
TITLE: Matrix metalloproteinase (MMP) inhibitors, pharmaceutical compositions, therapeutic use, and methods for identification of lead compounds
INVENTOR(S): Wrigglesworth, Roger; Andrianjara, Charles; Dublanchet, Anne-Claude; Bertrand, Claude
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014867	A2	20040219	WO 2002-GB3728	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2004014381	A2	20040219	WO 2003-GB3488	20030807
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,				

TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

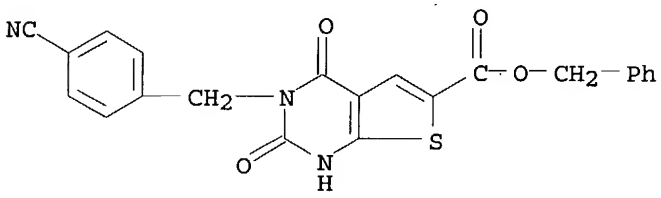
US 2004171543 A1 20040902 US 2003-637942 20030807
PRIORITY APPLN. INFO.: WO 2002-GB3728 A 20020813

AB The invention discloses compds. that are selective inhibitors of MMPs, pharmaceutical compns. containing the, and their use in the prevention and treatment of MMP-associated diseases (e.g. arthritis, pulmonary diseases). The invention also discloses methods for the identification of lead compds. that are selective inhibitors of MMPs. Compound preparation is described.

IT **448965-56-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(matrix metalloproteinase inhibitors, pharmaceutical compns., therapeutic use, and methods for identification of lead compds.)

RN 448965-56-8 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 3-[(4-cyanophenyl)methyl]-1,2,3,4-tetrahydro-2,4-dioxo-, phenylmethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:811002 CAPLUS
DOCUMENT NUMBER: 140:235673
TITLE: A novel synthesis of thieno-pyrimidines using inorganic solid support
AUTHOR(S): Kidwai, M.; Mishra, A. D.
CORPORATE SOURCE: Department of Chemistry, University of Delhi, Delhi, 110 007, India
SOURCE: Bulletin of the Korean Chemical Society (2003), 24(7), 1038-1040
CODEN: BKCSDE; ISSN: 0253-2964
PUBLISHER: Korean Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

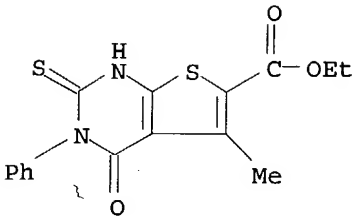
late

AB Novel 5-methyl-6-ethylcarboxylate-2-thioxo-thieno[3,2-d]pyrimidine-4(1H)-ones are prepared from 2-amino-3,5-diethyl carboxylate-4-methyl-thiophene and monosubstituted thioureas using microwave technol. under the solid support of K2CO3.

IT **666855-23-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of thieno-pyrimidines from thiophenes and thioureas using microwave irradiation and an inorg. solid support)

RN 666855-23-8 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-5-methyl-4-oxo-3-phenyl-2-thioxo-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:511310 CAPLUS
DOCUMENT NUMBER: 139:85360
TITLE: Preparation of 4-oxo-4H-thieno[2,3-d][1,3]oxazine derivatives as pancreatic lipase inhibitors for treatment of obesity or diabetes
INVENTOR(S): Witter, David; Castelhano, Arlindo L.
PATENT ASSIGNEE(S): Osi Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053944	A1	20030703	WO 2002-US41272	20021220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003195199	A1	20031016	US 2002-326302	20021220
BR 2002015080	A	20041005	BR 2002-15080	20021220
EP 1467978	A1	20041020	EP 2002-805675	20021220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

PRIORITY APPLN. INFO.:

US 2001-342617P	P	20011220
US 2002-357015P	P	20020213
WO 2002-US41272	W	20021220

OTHER SOURCE(S): MARPAT 139:85360
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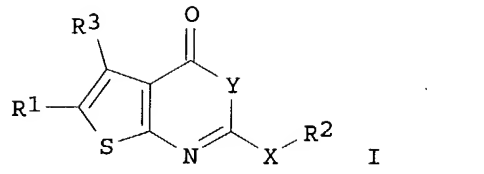

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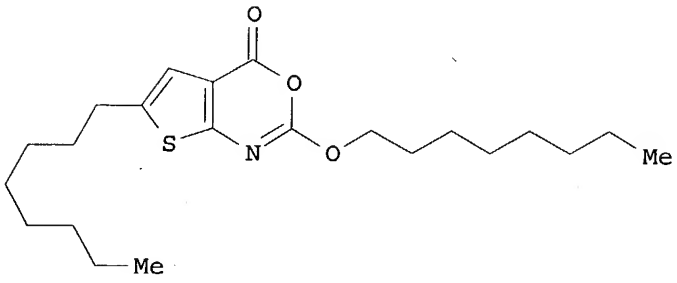


II

AB The title compds. I [wherein X = O, S, CH2, or NR5; Y = O or S; R1 = H, (un)substituted alkyl(aryl), CO2R4, CONR4R5, CR6R10OR4, CR6R10OCOR4, CR6R10OCONHR7, CONR8R9, NR5CONHR5, or CH2R4; R2 = (un)substituted alkyl, aryl, alkylaryl, (hetero)arylalkyl, or cycloalkyl; R3 = H or (un)substituted (cyclo)alkyl; R4 = H, (un)substituted alkyl, aryl, CH2-aryl, (hetero)arylalkyl, or cycloalkyl; R5 = H, (un)substituted alkyl, (hetero)arylalkyl, or cycloalkyl; R6 and R10 = independently H or (un)substituted (cyclo)alkyl; or R6 and R10 together form a ring; R7 = H or (un)substituted (cyclo)alkyl; R8 and R9 = independently H, (un)substituted alkyl, alkoxy, or alkylaryl; or NR8R9 together form a substituted piperazine ring, a piperidine ring, or a dihydro-1H-isoquinoline ring] and specific enantiomers, specific tautomers, and pharmaceutically acceptable salts thereof are prepared For example, the compound II was prepared in a multi-step synthesis. II showed 96.13% inhibitory activity against pancreatic lipase. I are useful for the treatment of diabetes or obesity (no data).

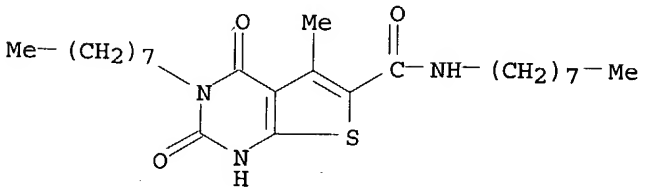
IT **554440-56-1P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of thienooxazine derivs. as pancreatic lipase inhibitors for treatment of obesity or diabetes)

RN 554440-56-1 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxamide, 1,2,3,4-tetrahydro-5-methyl-N,3-dioctyl-2,4-dioxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:201515 CAPLUS

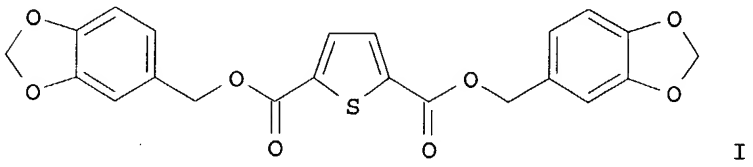
DOCUMENT NUMBER: 138:238166

10/ 075,073

TITLE: Preparation of heteroaryldicarboxylates as matrix metalloproteinase inhibitors
INVENTOR(S): Sorenson, R.
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: Eur. Pat. Appl., 75 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1291345	A1	20030312	EP 2002-255922	20020827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2003087924	A1	20030508	US 2002-224234	20020820
JP 2003128672	A2	20030508	JP 2002-258117	20020903
CA 2401358	AA	20030310	CA 2002-2401358	20020905
BR 2002003644	A	20030603	BR 2002-3644	20020905

PRIORITY APPLN. INFO.: US 2001-318488P P 20010910
OTHER SOURCE(S): MARPAT 138:238166
GI

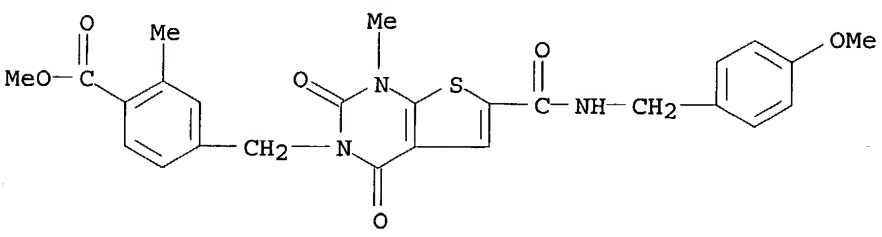


I

AB G1(CR1R2)nQ1BQ2(CR3R4)mG2 [G1, G2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, Ph, naphthyl, heteroaryl; R1-R4 = H, Me, cyano, F; R1R2C, R3R4C = CO; n, m, = 1-3; Q1 = X1CO, COX2, X1COX2; Q2 = X3CO, COX4, X3COX4; X1-X4 = O, NH; B = (substituted) imidazolyl, pyrazolyl, furyl, thienyl, pyrrolyl, etc.], were prepared Thus, 2,5-thiophenedicarboxylic acid and 3,4-methylenedioxybenzyl chloride were stirred 24 h in DMF to give 2,5-thiophenedicarboxylic acid di-1,3-benzodioxol-5-ylmethyl ester (I). I inhibited MMP-13CD with IC50 = 8.6 μM. A tablet formulation containing I is given.

IT **448967-25-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heteroaryldicarboxylates as matrix metalloproteinase inhibitors)

RN 448967-25-7 CAPLUS
CN Benzoic acid, 4-[[1,4-dihydro-6-[[[(4-methoxyphenyl)methyl]amino]carbonyl]-1-methyl-2,4-dioxothieno[2,3-d]pyrimidin-3(2H)-yl]methyl]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



10/ 075,073

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:637472 CAPLUS
DOCUMENT NUMBER: 137:201321
TITLE: Preparation of substituted isophthalic acid derivatives, multicyclic pyrimidinediones and analogs thereof as matrix metalloproteinase inhibitors
INVENTOR(S): Andrianjara, Charles; Ortwine, Daniel Fred; Pavlovsky, Alexander Gregory; Roark, William Howard
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064080	A2	20020822	WO 2002-IB447	20020213
WO 2002064080	A3	20021212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2437643	AA	20020822	CA 2002-2437643	20020213
US 2003078276	A1	20030424	US 2002-75069	20020213
EP 1361873	A2	20031119	EP 2002-710275	20020213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007864	A	20040309	BR 2002-7864	20020213
JP 2004529874	T2	20040930	JP 2002-563877	20020213
PRIORITY APPLN. INFO.:			US 2001-268821P P	20010214
			WO 2002-IB447 W	20020213

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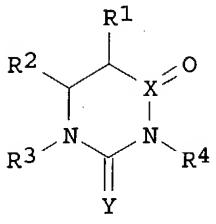

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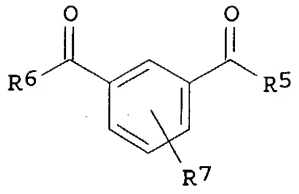
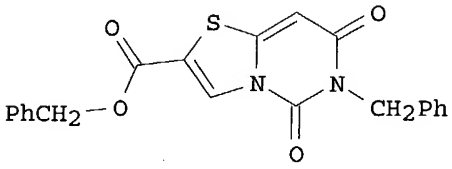


II



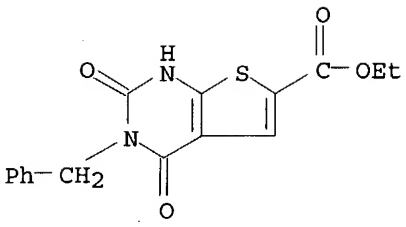
III

AB Title compds., I [R1 and R2 together may form a substituted aromatic ring or a heterocyclic ring; or R2 and R3 together may form substituted heterocycle; or R1, R3, or R4 = alkyl, arylalkyl, etc.; X = C, S; Y = O, N with provision when Y = N it forms a 5-membered heterocycle with R3] and II [R5, R6 = arylalkylamine, heterocyclylalkoxy, etc.; R7 = H, MeO, NO2, etc.], are prepared and disclosed as matrix metalloproteinase (MMP) inhibitors. Thus, III was prepared in five steps via cyclocondensation of diethylmalonate and benzylurea with subsequent chlorination, substitution with hydrosulfide hydrate to form an in situ intermediate that was reacted with bromoacetaldehyde dimethylacetal, followed by acid catalyzed cyclization and substitution with benzylchloroformate. III was demonstrated to inhibit MMP13 with an IC50 value (in μM) of 0.0230. I and II bind allosterically to the catalytic domain of MMP-13 and comprise a hydrophobic group, first and second hydrogen bond acceptors and at least one, and preferably both, of a third hydrogen bond acceptor and a second hydrophobic group. Cartesian coordinates for centroids of the above features are defined in the specification. When the ligand binds to MMP-13, the first, second and third (when present) hydrogen bond acceptors bond resp. with Thr245, Thr247 and Met 253, the first hydrophobic group locates within the S1' channel of MMP-13 and the second hydrophobic group (when present) is relatively open to solvent. The compds. specifically inhibit the matrix metalloproteinase-13 enzyme and thus are useful for treating diseases resulting from tissue breakdown, such as heart disease, multiple sclerosis, arthritis, atherosclerosis, and osteoporosis.

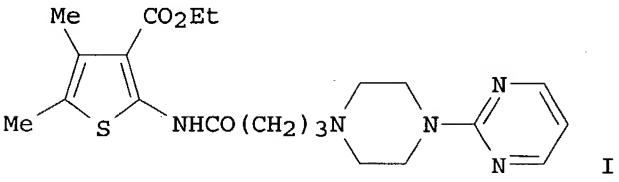
IT **448964-69-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation and pharmaceutical activity of substituted isophthalic acid derivs., multicyclic pyrimidinediones and analogs thereof as matrix metalloproteinase inhibitors)

RN 448964-69-0 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-2,4-dioxo-3-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:87181 CAPLUS
DOCUMENT NUMBER: 134:311176
TITLE: Design, synthesis and binding properties of novel and selective 5-HT3 and 5-HT4 receptor ligands
AUTHOR(S): Modica, Maria; Santagati, Maria; Guccione, Salvatore; Russo, Filippo; Cagnotto, Alfredo; Goegan, Mara; Mennini, Tiziana
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Catania, Catania, 95125, Italy
SOURCE: European Journal of Medicinal Chemistry (2000), 35(12), 1065-1079
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:311176
GI

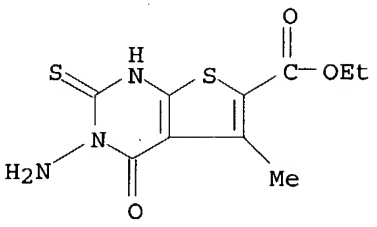


I

AB The synthesis and the binding tests on the 5-HT3 and 5-HT4 receptors of new thienopyrimidopiperazine and piperazinylacylaminodimethylthiophene derivs., in order to identify potent and selective ligands for each receptor, is reported. The compound with higher affinity and selectivity for the 5-HT3 over the 5-HT4 receptor was the 3-amino-2-(4-benzyl-1-piperazinyl)-5,6-dimethyl-thieno[2,3-d]pyrimidin-4(3H)-one (5-HT3 Ki = 3.92 nM, 5-HT4 not active), the compound with higher affinity and selectivity for the 5-HT4 over the 5-HT3 receptor was 2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butanoylamino]-4,5-dimethyl-3-thiophenecarboxylic acid Et ester (I) (5-HT4 Ki = 81.3 nM, 5-HT3 not active). Conformational analyses were carried out on the compds. of the piperazinylacylaminodimethylthiophene series taking I as the template.

IT **295312-42-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and binding properties of novel and selective 5-HT3 and 5-HT4 receptor ligands)

RN 295312-42-4 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 3-amino-1,2,3,4-tetrahydro-5-methyl-4-oxo-2-thioxo-, ethyl ester, monopotassium salt (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:627337 CAPLUS
DOCUMENT NUMBER: 133:350192

TITLE: High affinity and selectivity of [[(arylpiperazinyl)alkyl]thio]thieno[2,3-d]pyrimidinone derivatives for the 5-HT1A receptor. Synthesis and structure-affinity relationships

AUTHOR(S): Modica, Maria; Santagati, Maria; Russo, Filippo; Selvaggini, Carlo; Cagnotto, Alfredo; Mennini, Tiziana
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Universita di Catania, Catania, 95125, Italy

SOURCE: European Journal of Medicinal Chemistry (2000), 35(7 & 8), 677-689
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:350192

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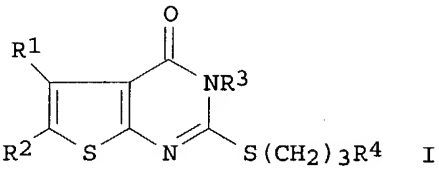

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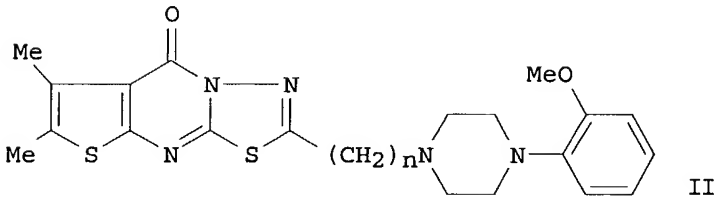


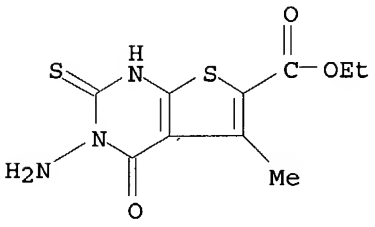
II

AB New thienopyrimidinones were prepared and their affinity for 5-HT1ARs and the selectivity vs. α1ARs is reported. The thieno[2,3-d]pyrimidin-4(3H)-one I [R1 = H, R2 = Et, R3 = NH3, R4 = 4-(2-methoxyphenyl)piperazino] is the most potent and selective (Ki 0.19 nM,

selectivity 115). I [R1 = R2 = Me, R3 = NH2, R4 = 4-(2-nitrophenyl)piperazino] also shows a good affinity and selectivity (Ki 1.46 nM, selectivity 84). The activities of I [R1 = R2 = Me, R3 = Et, allyl, NHAc, R4 = 4-(2-methoxyphenyl)piperazino] (Ki 3.28, 12.59 and 4.38 nM; selectivity 24, 4 and 5, resp.), indicate the importance of this last group for the interaction with 5-HT1AR. Comparison of the results for the superior homolog II [n = 3] (Ki 3.72 nM, selectivity 51) and the inferior homolog II [n = 1] (5-HT1A Ki 1 499 nM, α1A Ki NA) of II [n = 2] (Ki 23 nM, selectivity 5) shows how important the length of the chain binding the two heterocyclic systems is in the interaction with 5-HT1ARs and α1ARs.

IT **295312-42-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation. of [[(arylpiperazinyl)alkyl]thio]thieno[2,3-d]pyrimidinones with selective affinity for the HT1A receptor)

RN 295312-42-4 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 3-amino-1,2,3,4-tetrahydro-5-methyl-4-oxo-2-thioxo-, ethyl ester, monopotassium salt (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:530499 CAPLUS
DOCUMENT NUMBER: 133:252354
TITLE: Synthesis of new [1,3,4]thiadiazolo[3,2-a]thieno[2,3-d]pyrimidinone derivatives with antiinflammatory activity
AUTHOR(S): Modica, M.; Santagati, M.; Santagati, A.; Cutuli, V.; Mangano, N.; Caruso, A.
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Facolta di Farmacia, Universita di Catania, Italy
SOURCE: Pharmazie (2000), 55(7), 500-502
CODEN: PHARAT; ISSN: 0031-7144
PUBLISHER: Govi-Verlag Pharmazeutischer Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:252354

AB New thiadiazolothienopyrimidinones were synthesized in continuation of efforts to prepare thienopyrimidine derivs. with analgesic and antiinflammatory activities. The effect of various substituents in the thiophene ring on the pharmacol. activity of the compds. was studied.

IT **295312-42-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant for preparation of thiadiazolothienopyrimidinones as analgesics and anti-inflammatory agents)

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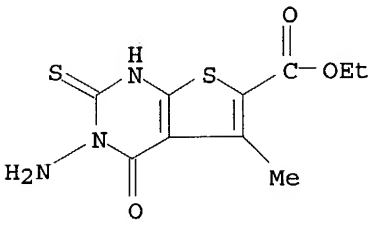
RN 295312-42-4 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 3-amino-1,2,3,4-tetrahydro-5-methyl-4-oxo-2-thioxo-, ethyl ester, monopotassium salt (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:122853 CAPLUS
DOCUMENT NUMBER: 128:238986
TITLE: Synthesis of 6-thiosubstituted 5-ethoxycarbonyl-1,3-diphenyl-2-thioxo-2,3-dihydropyrimidin-4(1H)-ones, 6-substituted 5-hydroxy-1,3-diphenyl-2,3-dihydrothieno[2,3-d]pyrimidin-4(1H)-ones and their esters with local anesthetic, antiarrhythmic, antiinflammatory and analgesic activities
AUTHOR(S): Ranise, Angelo; Bruno, Olga; Schenone, Silvia; Bondavalli, Francesco; Falcone, Giuseppe; Filippelli, Walter; Sorrentino, Salvatore
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche dell'Universita, Genoa, I-16132, Italy
SOURCE: Farmaco (1997), 52(8-9), 547-555
CODEN: FRMCE8; ISSN: 0014-827X
PUBLISHER: Societa Chimica Italiana
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The synthesis of 6-thiosubstituted 5-ethoxycarbonyl-1,3-diphenyl-2-thioxo-2,3-dihydropyrimidin-4(1H)-ones, and of 6-substituted 5-hydroxy-1,3-diphenyl-2,3-dihydrothieno[2,3-d]pyrimidin-4(1H)-ones and their esters is described. These derivs. were prepared to evaluate the influence on the pharmacol. profile of alkyl substituents bearing polar/hydrophilic functionalities at an enethiol substructure or to assess the effects arising from the incorporation of the sulfur atom in a thiophene moiety as in thienopyrimidinones in comparison with a series of 5-substituted 6-acylthio-1,3-diphenyl-2-thioxo-2,3-dihydropyrimidin-4(1H)-ones, previously described. Preliminary screenings suggest that all tested compds. maintained or even increased the local anesthetic activity, but failed in the platelet anti-aggregating activity; antiarrhythmic and antiinflammatory activity was preserved in some esters.
IT **205128-31-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and pharmacol. activity of)
RN 205128-31-0 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 5-[2-(4-chlorophenoxy)-2-methyl-1-oxopropoxy]-1,2,3,4-tetrahydro-4-oxo-1,3-diphenyl-2-thioxo-, ethyl ester

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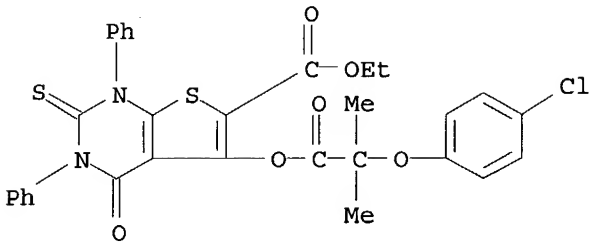
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:370230 CAPLUS
DOCUMENT NUMBER: 125:142666
TITLE: Novel synthesis of thieno[2,3-c]pyrazoles and thieno[2,3-d]pyrimidines
AUTHOR(S): Ahluwalia, Vinod K.; Dahiya, Aruna; Bala, Madhu
CORPORATE SOURCE: Department Chemistry, University Delhi, Delhi, 110 007, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1996), 35B(7), 715-717
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: Publications & Information Directorate, CSIR
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:142666
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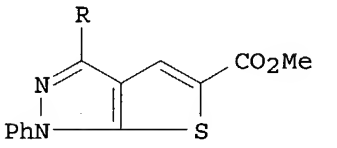

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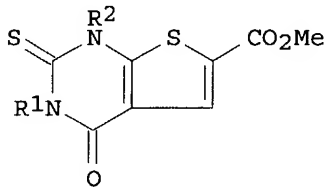
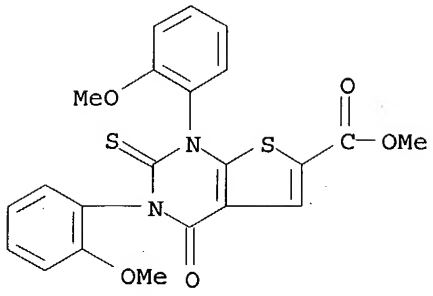


II

AB 5-Chloro-4-formyl-3-substituted-1-phenyl-1H-pyrazoles and 1,3-diaryl-6-chloro-5-formyl-1,3-dihydro-4-oxo-2-thioxopyrimidines on condensation with Me thioglycolate furnish thieno[2,3-c]pyrazolecarboxylates I (R = Me, Ph, Pr) and thieno[2,3-d]pyrimidinecarboxylates II [R1 = (un)substituted phenyl] in excellent yields.

IT **179925-73-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of thienopyrazoles and thienopyrimidines)

RN 179925-73-6 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-1,3-bis(2-methoxyphenyl)-4-oxo-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:514925 CAPLUS
DOCUMENT NUMBER: 113:114925
TITLE: Pyrimidines. 65. Synthesis of 6-substituted thieno[2,3-d]pyrimidine-2,4(1H,3H)-diones
AUTHOR(S): Hirota, Kosaku; Shirahashi, Mitsuomi; Senda, Shigeo; Yogo, Motoi
CORPORATE SOURCE: Gifu Pharm. Univ., Gifu, 502, Japan
SOURCE: Journal of Heterocyclic Chemistry (1990), 27(3), 717-21
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:114925
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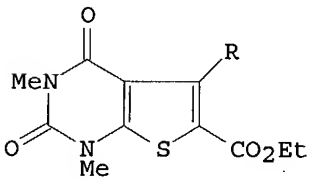

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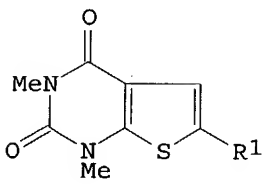


II

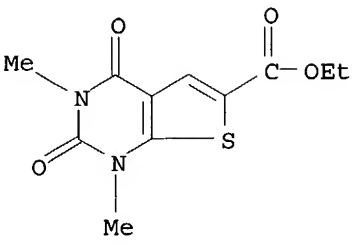
AB Thieno[2,3-d]pyrimidine-2,4-(1H,3H)-dione derivs. were synthesized. 6-Ethoxycarbonyl derivs. I (R = H, NH2) were prepared by treatment of 6-chloro-5-formyluracil and 6-chloro-5-cyanouracil with Et 2-mercaptoacetate in the presence of a base. Electrophilic substitution reactions (Vilsmeier-Haack reaction, bromination, and nitration) of thieno[2,3-d]pyrimidine II (R1 = H), prepared by condensation of 6-mercaptouracil with chloroacetaldehyde, afforded 6-formyl-, 6-bromo-, and 6-nitrothieno[2,3-d]pyrimidines II (R1 = CHO, Br, NO2), resp.

IT **129177-35-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. activity of)

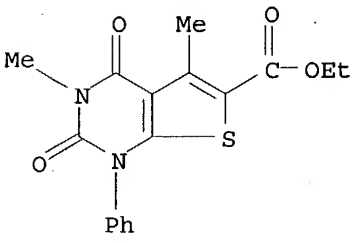
RN 129177-35-1 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-1,3-dimethyl-2,4-dioxo-, ethyl ester (9CI) (CA INDEX NAME)

10/ 075,073



L11 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:463420 CAPLUS
DOCUMENT NUMBER: 81:63420
TITLE: Synthesis of thiophenes. III. Further variations in the substitution pattern
AUTHOR(S): Rajappa, S.; Advani, B. G.
CORPORATE SOURCE: Ciba Res. Cent., Bombay, India
SOURCE: Indian Journal of Chemistry (1974), 12(1), 1-3
CODEN: IJOCAP; ISSN: 0019-5103
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The thiophene synthesis from enamines and iso-thiocyanates followed by α-haloketones was further extended. The scope of the reaction was explored in regard to variations in the enamine and active methylene components. Thus, MeCH:-C(NH2)CO2Et was treated with 2,3-Me2C6H3NCS followed by MeCOCHClCo2Et to give the thiophene I.
IT **53002-53-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 53002-53-2 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-3,5-dimethyl-2,4-dioxo-1-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



L11 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1973:22518 CAPLUS
DOCUMENT NUMBER: 78:22518
TITLE: Photographic images by diffusion of silver salt
PATENT ASSIGNEE(S): Agfa-Gevaert A.-G.
SOURCE: Fr., 10 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

FR 2077060	A5	19711015	FR 1971-2718	19710127
DE 2003414	A	19710812	DE 1970-2003414	19700127
PRIORITY APPLN. INFO.:			DE 1970-2003414 A	19700127

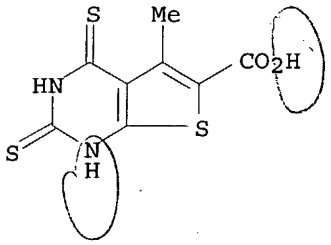
GI For diagram(s), see printed CA Issue.

AB Formation of a sludge in the alkaline transfer bath is reduced by addition of a pyrimidinethiol (I; X = O, S; Z = an annellated carbocyclic or heterocyclic ring, e.g. II). Thus, 1-(m-carboxyphenyl)-4-cyano-5-aminopyrazole 65 and K xanthate 100 g in BuOH 650 ml are refluxed for 3 hr, the precipitate collected, taken up in 1 l. H2O and AcOH added to precipitate II 52 g. A Ag(Cl, Br) emulsion layer containing 0.9 g/m2 Ag and 0.7 hydroquinone and 0.3 g Phenidone/g of Ag is prepared and contacted with a receiving layer containing Ag2S and Na2S2O3 in a bath containing Na3PO4.12H2O 70, Na2SO3 40, KBr 1 g, II 250 mg, and H2O to 1 l. The color and volume of deposit in the alkaline transfer bath after processing was yellowish-white, 20-30 ml vs. yellowish-white, 70-80 ml for a control bath containing 2,4-dimercapto-6-methylpyridine 85 mg.

IT **33986-74-2**
RL: USES (Uses)
(photographic processing solns. containing, for diffusion-transfer images)

RN 33986-74-2 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 4,5,6,7-tetrahydro-3-methyl-4,6-dithioxo- (9CI) (CA INDEX NAME)



L11 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1972:475185 CAPLUS
DOCUMENT NUMBER:	77:75185
TITLE:	Simple synthesis of 2,4-dithioxotetrahydro-pyrimidines from o-amino nitriles and xanthogenates
AUTHOR(S):	Kabbe, Hans Joachim
CORPORATE SOURCE:	Chem.-Wiss. Lab. Pharma, Farbenfabr. Bayer A.-G., Wuppertal-Elberfeld, Fed. Rep. Ger.
SOURCE:	Synthesis (1972), (5), 268-9 CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE:	Journal
LANGUAGE:	German

GI For diagram(s), see printed CA Issue.

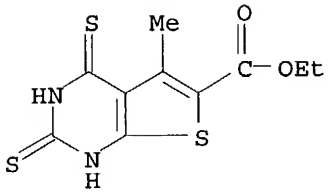
AB Seven title pyrimidines (I) (ring A represents substituted benzene, pyrimidine, tetrahydrobenzothiophene, tetrahydropyridothio-phene, thiophene, or pyrazole moieties) were prepared by condensation of o-amino nitriles with EtOC(S)SK (II). Thus, 2,5-H2N(O2N)C6H3CN and II in refluxing BuOH gave 2,4-dithioxo-6-nitro-1,2,3,4-tetrahydroquinazoline (III).

IT **37471-09-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 37471-09-3 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-5-methyl-2,4-dithioxo-, ethyl ester (9CI) (CA INDEX NAME)

10/ 075,073



L11 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:546231 CAPLUS
DOCUMENT NUMBER: 75:146231
TITLE: Stabilizer for photographic silver halide emulsions
INVENTOR(S): Von Koenig, Anita; Kabbe, Hans J.; Maeder, Helmut; Otto, Rigobert; Reuss, Helmut
PATENT ASSIGNEE(S): Agfa-Gevaert A.-G.
SOURCE: Ger. Offen., 16 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

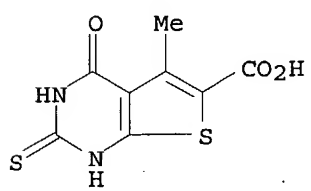
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1962605	A	19710715	DE 1969-1962605	19691213
DE 1962605	C2	19830908		
CA 952758	A1	19740813	CA 1970-99535	19701201
US 3692527	A	19720919	US 1970-95401	19701204
GB 1308166	A	19730228	GB 1970-57632	19701204
CH 557551	A	19741231	CH 1970-18197	19701210
FR 2073677	A5	19711001	FR 1970-44845	19701211
JP 49044896	B4	19741130	JP 1970-110124	19701212
PRIORITY APPLN. INFO.:			DE 1969-1962605	19691213

GI For diagram(s), see printed CA Issue.

AB Ag halide emulsions are stabilized against fogging during storage and development by inclusion of 2-mercapto-4-oxo-3,4-dihydropyrimidine derivs. I, where R is H, C1-5 saturated or unsatd. aliphatic group, or aryl; Z represents a group completing a substituted or unsubstituted 5- or 6-membered heterocycle nucleus with halogen, hydroxy, alkoxy, phenylalkyl, or alkenyl substituents. Thus, 2-mercapto-4-oxo-3,4-dihydroquinazoline-6-carboxylic acid (II) is added (5 ml/0.25 kg) to a color AgBr emulsion containing 4-hydroxy-6-methyl-1,3,3a,7-tetraazaindene, coated on a cellulose tracetate support, exposed in a sensitometer, and developed to give a fog value of 0.15 vs. 0.20 for a II-free control.

IT **34330-04-6**
RL: USES (Uses)
(photographic emulsion fog inhibitor)

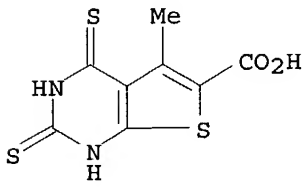
RN 34330-04-6 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 3,4-dihydro-2-mercapto-5-methyl-4-oxo- (8CI) (CA INDEX NAME)



L11 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:525024 CAPLUS
DOCUMENT NUMBER: 75:125024
TITLE: Additives for prevention of silver sludge formation in photographic baths
INVENTOR(S): Liebe, Werner; Kabbe, Hans J.; Von Koenig, Anita
PATENT ASSIGNEE(S): Agfa-Gevaert A.-G.
SOURCE: Ger. Offen., 12 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2003414	A	19710812	DE 1970-2003414	19700127
GB 1296161	A	19721115	GB 1971-1296161	19710104
BE 761606	A2	19710715	BE 1971-2799	19710115
FR 2077060	A5	19711015	FR 1971-2718	19710127

PRIORITY APPLN. INFO.: DE 1970-2003414 A 19700127
GI For diagram(s), see printed CA Issue.
AB The formation of black Ag sludge especially in developer free baths in the Ag diffusion process was practically prevented by the addition of 140-250 mg 1-[m-carboxyphenyl)-4,6-dimercapto-1H-pyrazolo[3,4-d] pyrimidine (I), its p-carboxyphenyl isomer, 2,4-dimercapto-5-methylthieno[2,3-d]pyrimidine-6-carboxylic acid, or 2-mercapto-4-hydroxy-6-quinazolinecarboxylic acid to give .apprx.20-60 ml of yellowish white or light grey Ag sludge after 2-3 hr of settling time. Thus, 1-(m-carboxyphenyl)-4-cyano-5-aminopyrazole and K xanthogenate in BUOH were refluxed for 3 hr with stirring. The precipitate was filtered cold and dissolved in 1 l. of H2O, and the solution acidified with HOAc to give 60% I. A typical film containing developer was exposed and developed in a bath containing 70 g of Na3PO4.12H2O, 40 g of Na2SO3, and 1 g of KBr in 1 l. of H2O with addnl. 250 mg of I to give .apprx.20-30 ml of yellowish white Ag sludge after 2-3 hr of settling time as compared with samples without additives that gave .apprx.60 ml of black sludge.
IT **33986-74-2**
RL: USES (Uses)
(photographic diffusion-transfer processing solns., containing, for prevention of black silver sludge formation)
RN 33986-74-2 CAPLUS
CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 4,5,6,7-tetrahydro-3-methyl-4,6-dithioxo- (9CI) (CA INDEX NAME)



L11 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:76444 CAPLUS
DOCUMENT NUMBER: 74:76444
TITLE: Condensed 2,4-dimercaptopyrimidines
INVENTOR(S): Kabbe, Hans J.
PATENT ASSIGNEE(S): Farbenfabriken Bayer A.-G.
SOURCE: Ger. Offen., 11 pp.

10/ 075,073

CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1935295	A	19710114	DE 1969-1935295	19690711
CH 537391	A	19730713	CH 1970-9196	19700617
BE 753224	A	19710111	BE 1970-753224	19700709
NL 7010174	A	19710113	NL 1970-10174	19700709
GB 1263034	A	19720209	GB 1970-1263034	19700709
FR 2055010	A5	19710507	FR 1970-25703	19700710
PRIORITY APPLN. INFO.:			DE 1969-1935295	19690711

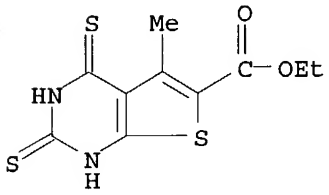
GI For diagram(s), see printed CA Issue.

AB The title compds. (I), useful as photog. adjuvants, were prepared by heating 0-amino nitriles with alkali metal xanthogenates at 80-120° and treatment of the salts obtained with HOAc. Thus, 2,5-H2N-(O2N)C6H3CN and K xanthogenate in BuOH were refluxed 5 hr at 112° and the precipitate was treated with HOAc to give 80% I [(RR1 =) CH:C(NO2)CH:CH]. Among .apprx.10 compds. similarly prepared were I [(RR1 =) and % yield given]: CH:CHCCl:-CH, 60; CH:NNMe, 78; CMe:CMeO, 75.

IT **37471-09-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 37471-09-3 CAPLUS

CN Thieno[2,3-d]pyrimidine-6-carboxylic acid, 1,2,3,4-tetrahydro-5-methyl-2,4-dithioxo-, ethyl ester (9CI) (CA INDEX NAME)



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